CLAIMS

1. A process for producing an optically active β -amino acid derivative of the formula (2):

$$R^{1}$$
 R^{2} R^{3} R^{4} (2)

5

10

15

20

25

wherein R¹ and R² are each independently a hydrogen atom, a hydrocarbon group which may be substituted, an acyl group which may be substituted, an alkoxycarbonyl group which may be substituted, an aryloxycarbonyl group which may be substituted, an aralkyloxycarbonyl group which may be substituted, an alkoxy group which may be substituted, an aryloxy group which may be substituted and a heterocyclic group which may be substituted;

R³ is a hydrogen atom, an alkoxy group which may be substituted, an aryloxy group which may be substituted, an aralkyloxy group which may be substituted, a hydroxy group and a hydrocarbon group which may be substituted;

 R^4 is an alkoxy group which may be substituted, an aryloxy group which may be substituted, an aralkyloxy group which may be substituted, a hydroxy group, $-NR^aR^b$ [wherein R^a and R^b are each independently a hydrogen atom, a hydrocarbon group which may be substituted and an acyl group which may be substituted, $-SO_2A^1$ (wherein A^1 is a hydrocarbon group which may be substituted or a substituted amino group), or $-COOR^c$ (R^c is a hydrocarbon group which may be substituted)] or a heterocyclic group which may be substituted, and R^1 and R^2 , or R^2 and R^3 each may combine to form a ring; with the proviso that when $R^1 = R^2$,

then R³ is a hydrocarbon group which may be substituted;

Q is a group formed by removing a hydrogen atom from an amine; and

* indicates an asymmetric carbon atom;

5 or a salt thereof,

which comprises reacting an α,β -unsaturated carboxylic acid derivative of the formula (1):

$$R^2 \xrightarrow{R^1} 0 \\ R^4 \qquad (1)$$

wherein R¹ to R⁴ are each the same as mentioned above, with an amine or a salt thereof, in the presence of a chiral catalyst and in the presence or absence of an acid.

- 2. The process according to claim 1, wherein said amine or acid salt thereof is a compound of the formula (3):
- 15 $R^5 NH R^{55} \cdot aX$ (3)

20

wherein R⁵ and R⁵⁵ are each independently a hydrogen atom, a hydrocarbon group which may be substituted, an alkoxy group which may be substituted, an aryloxy group which may be substituted or an aralkyloxy group which may be substituted; X is an acid; and a is 0 or 1.

3. The process according to claim 1, wherein said optically active β -amino acid or salt thereof is a compound of the formula (4):

5

15

20

25

wherein R¹ and R² are each independently a hydrogen atom, a hydrocarbon group which may be substituted, an acyl group which may be substituted, an alkoxycarbonyl group which may be substituted, an aryloxycarbonyl group which may be substituted, an aralkyloxycarbonyl group which may be substituted, an alkoxy group which may be substituted, an aryloxy group which may be substituted or a heterocyclic group which may be substituted;

10 R³ is a hydrogen atom, an alkoxy group which may be substituted, an aryloxy group which may be substituted, an aralkyloxy group which may be substituted, a hydroxy group or a hydrocarbon group which may be substituted;

R⁴ is an alkoxy group which may be substituted, an aryloxy group which may be substituted, an aralkyloxy group which may be substituted, a hydroxy group, -NR^aR^b [wherein R^a and R^b are each independently a hydrogen atom, a hydrocarbon group which may be substituted, an acyl group which may be substituted, -SO₂A¹ (wherein A¹ is a hydrocarbon group which may be substituted or a substituted amino group), or -COOR^c (R^c is a hydrocarbon group which may be substituted)] or a heterocyclic group which may be substituted;

R⁵ and R⁵⁵ are each independently a hydrogen atom, a hydrocarbon group which may be substituted, an alkoxy group which may be substituted, an aryloxy group which may be substituted;

10

15

20

b is 0 or 1;

X is an acid;

* indicates an asymmetric carbon; or

 R^1 and R^2 , or R^2 and R^3 may combine to form a ring, with the proviso that when $R^1 = R^2$, then R^3 is a hydrocarbon group which may be substituted.

4. The process according to claim 1, wherein the chiral catalyst is a chiral transition-metal complex of the formula (5):

$$[M_2L_pA_\sigma]^{Y^+}(Z^-)_Y \qquad (5)$$

wherein L is a chiral ligand; Z is a counter anion; A is an anionic ligand selected from the group consisting of a hydroxy group, an amide group, an alkoxy group and a halogen atom; M is a transition metal; y is 0 or 2; q is 2; p is 2 or 4, or of the formula (6):

$$ML_rB_s(Z^-)_c$$
 (6)

wherein L is a chiral ligand; Z is a counter anion; B is a water molecule or a neutral ligand; M is a transition metal; r is 1 or 2; s is 0, 1, 2, 4 or 6; c is 0, 1 or 2.

5. A process for producing an optically active β -amino acid derivative of the formula (4a):

25 wherein R^1 and R^2 are each independently a hydrogen atom, a

WO 2005/016866 PCT/JP2004/012156

hydrocarbon group which may be substituted, an acyl group which may be substituted, an alkoxycarbonyl group which may be substituted, an aryloxycarbonyl group which may be substituted, an aralkyloxycarbonyl group which may be substituted, an alkoxy group which may be substituted, an aryloxy group which may be substituted, or a heterocyclic group which may be substituted;

R³ is a hydrogen atom, an alkoxy group which may be substituted, an aryloxy group which may be substituted, an aralkyloxy group which may be substituted, a hydroxy group, or a hydrocarbon group which may be substituted;

10

15

20

25

R⁴ is an alkoxy group which may be substituted, an aryloxy group which may be substituted, an aralkyloxy group which may be substituted, a hydroxy group, -NR^aR^b [wherein R^a and R^b are each independently a hydrogen atom, a hydrocarbon group which may be substituted, an acyl group which may be substituted, -SO₂A¹ (wherein A¹ is a hydrocarbon group which may be substituted or a substituted amino group), or -COOR^c (R^c is a hydrocarbon group which may be substituted)], or a heterocyclic group which may be substituted; or

 R^1 and R^2 , or R^2 and R^3 may combine to form a ring, with the proviso that when $R^1 = R^2$, then R^3 is a hydrocarbon group which may be substituted.

R⁶ to R¹⁰ are each independently a hydrogen atom, a hydrocarbon group which may be substituted, a halogen atom, a heterocyclic group which may be substituted, an alkoxy group which may be substituted, an aralkyloxy group which may be substituted, an aryloxy group which may be substituted, an acyloxy group, an acyloxy group, an

alkoxycarbonyl group which may be substituted, an aryloxycarbonyl group which may be substituted, an aralkyloxycarbonyl group which may be substituted, an alkylenedioxy group, a hydroxy group, a nitro group or an amino group which may be substituted; or

 R^6 and R^7 , R^7 and R^8 , R^8 and R^9 , or R^9 and R^{10} each may combine to form a fused ring, with the proviso that at least one of R^6 to R^{10} is a halogenated hydrocarbon group;

X is an acid;

10 b is 0 or 1; and

* indicates an asymmetric carbon; or a salt thereof,

which comprises reacting an α,β -unsaturated carboxylic acid derivative of the formula (1):

$$R^2 \xrightarrow{R^1} 0$$

$$R^3 \qquad (1)$$

15

5

wherein R^1 to R^4 are each the same as mentioned above, with a primary amine of the formula (3b):

$$R^{8} \longrightarrow R^{10} \qquad R^{10} \qquad (3b)$$

wherein a is 0 or 1; and R⁶ to R¹⁰, and X are each the same as mentioned above, or a salt thereof in the presence or absence of an acid and in the presence of a chiral catalyst.

6. A compound of the formula (4b):

WO 2005/016866 PCT/JP2004/012156

66

$$R^{6}$$
 COR^{0}

$$R^9 \xrightarrow{R^{10}} R^{10} \xrightarrow{R^{1}} R^{2}$$
 (4b)

5

10

15

20

25

wherein R¹ and R² are each independently a hydrogen atom, a hydrocarbon group which may be substituted, an acyl group which may be substituted, an alkoxycarbonyl group which may be substituted, an aryloxycarbonyl group which may be substituted, an aralkyloxycarbonyl group which may be substituted, an alkoxy group which may be substituted, an aryloxy group which may be substituted, an aralkyloxy group which may be substituted or a heterocyclic group which may be substituted;

R³ is a hydrogen atom, an alkoxy group which may be substituted, an aryloxy group which may be substituted, an aralkyloxy group which may be substituted, a hydroxy group or a hydrocarbon group which may be substituted; or

 R^1 and R^2 , or R^2 and R^3 each may combine to form a ring; ${\tt R}^6$ to ${\tt R}^{10}$ are each independently a hydrogen atom, a hydrocarbon group which may be substituted, a halogen atom, a heterocyclic group which may be substituted, an alkoxy group which may be substituted, an aralkyloxy group which may be substituted, an aryloxy group which may be substituted, an acyl group which may be substituted, an acyloxy group, an alkoxycarbonyl group which may be substituted, an aryloxycarbonyl group which may be substituted, an aralkyloxycarbonyl group which may be substituted, alkylenedioxy group, a hydroxy group, a nitro group or an amino group which may be substituted; or

WO 2005/016866 PCT/JP2004/012156

67

 R^6 and R^7 , R^7 and R^8 , R^8 and R^9 , or R^9 and R^{10} each may combine to form a fused ring, with the proviso that at least one of R^6 to R^{10} is a halogenated hydrocarbon group;

X is an acid;

5 b is 0 or 1; and

 $\ensuremath{R^{\text{D}}}$ is a heterocyclic group which may be substituted.